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	NEWS	3	AUG	18	COMPENDEX indexing changed for the Corporate Source (CS) field								
	NEWS	4	AUG	24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced								
	NEWS	5	AUG	24	CA/CAplus enhanced with legal status information for								
					U.S. patents								
	NEWS	6 6 SEP 09 50 Millionth Unique Chemical Substance Recorded CAS REGISTRY											
	NEWS	7	SEP	11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM								
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	NEWS	8	OCT	21	Derwent World Patents Index Coverage of Indian and								
					Taiwanese Content Expanded								
	NEWS	9	OCT	21	Derwent World Patents Index enhanced with human								
					translated claims for Chinese Applications and								
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	NEWS	12	DEC	01	FRFULL Content and Search Enhancements								
	NEWS	13	DEC	01	DGENE, USGENE, and PCTGEN: new percent identity								
					feature for sorting BLAST answer sets								
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					thesaurus added								
	NEWS	15	DEC	02	PCTGEN enhanced with patent family and legal status								
					display data from INPADOCDB								
	NEWS	16	DEC	02	USGENE: Enhanced coverage of bibliographic and								
					sequence information								
	NEWS	EXP	RESS		26 09 CURRENT WINDOWS VERSION IS V8.4,								
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COST IN U.S. DOLLARS

SINCE FILE

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TOTAL ENTRY SESSION 0.22

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 9 DEC 2009 HIGHEST RN 1196786-45-4 DICTIONARY FILE UPDATES: 9 DEC 2009 HIGHEST RN 1196786-45-4

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Uploading C:\Program Files\Stnexp\Queries\10572794.str

chain nodes :

11 18 19 20 24 25 26 27 30 31 32 33 34 37 38 39 40 41 44 45 46 47 48 51 52 53 54 55 58 59 60 61 62 79

```
ring nodes :
1 2 3 4 5 6 7 8 9 10 12 13 14 15 16 17
chain bonds :
7-11 11-12 18-19 19-20 20-79 24-25 24-26 26-27 30-31 30-32 30-33 33-34
37-38 37-41 38-39 39-40 44-45 45-46 45-48 46-47 51-52 51-54 52-53 53-55
58-59 59-60 59-62 60-61
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 12-13 12-17 13-14 14-15
15-16 16-17
exact/norm bonds :
7-11 11-12 18-19 19-20 20-79 24-25 26-27 30-31 30-32 30-33 33-34 37-38
37-41 38-39 39-40 44-45 45-48 46-47 51-52 51-54 52-53 53-55 58-59 59-62
60-61
exact bonds :
24-26 45-46 59-60
normalized bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 12-13 12-17 13-14 14-15
15-16 16-17
isolated ring systems :
containing 1 : 12 :
G1:[*1],[*2],[*3],[*4],[*5],[*6]
Hydrogen count :
9:= exact 1
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:Atom 23:Atom 24:CLASS 25:Atom 26:CLASS 27:CLASS 30:CLASS 31:CLASS
32:CLASS 33:CLASS 34:Atom 37:CLASS 38:CLASS 39:CLASS 40:Atom 41:CLASS
44:CLASS 45:CLASS 46:CLASS 47:Atom 48:CLASS 51:CLASS 52:CLASS 53:CLASS
54:CLASS 55:Atom 58:CLASS 59:CLASS 60:CLASS 61:Atom 62:CLASS 79:CLASS
Generic attributes :
20.
Saturation
                     : Saturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : Exactly 1
Type of Ring System
                    : Monocyclic
25:
Number of Carbon Atoms : less than 7
Number of Hetero Atoms: 2 or more
Type of Ring System
                   : Monocyclic
34:
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : 2 or more
Type of Ring System : Monocyclic
40:
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : 2 or more
Type of Ring System
                   : Monocyclic
47:
Number of Carbon Atoms : less than 7
Number of Hetero Atoms: 2 or more
Type of Ring System : Monocyclic
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : 2 or more
Type of Ring System : Monocyclic
61:
```

```
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : 2 or more
Type of Ring System : Monocyclic
Element Count :
Node 20: Limited
   C,C5
   N,N1
Node 25: Limited
    C,C3
    0,01
   N,N1
Node 34: Limited
   C, C3
    0,01
   N,N1
Node 40: Limited
   C,C3
   0,01
   N,N1
Node 47: Limited
   C,C3
   0,01
   N,N1
Node 55: Limited
   C, C3
   0,01
   N,N1
Node 61: Limited
   C, C3
   0,01
   N,N1
```

L1 STRUCTURE UPLOADED

=> d L1 L1 HAS NO ANSWERS L1 STR

G1 [01], [02], [03], [04], [05], [06]

Structure attributes must be viewed using STN Express query preparation.

=> s L1 full FULL SEARCH INITIATED 10:49:54 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 38995 TO ITERATE

100.0% PROCESSED 38995 ITERATIONS SEARCH TIME: 00.00.02 12 ANSWERS

L2 12 SEA SSS FUL L1

=> file caplus COST IN U.S. DOLLARS

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 185.88 186.10

FILE 'CAPLUS' ENTERED AT 10:50:02 ON 10 DEC 2009
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REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

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=> s 12

1 L2

=> d 13 1- ibib abs hitstr YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:300441 CAPLUS

DOCUMENT NUMBER: 142:355279

TITLE: A preparation of quinazoline derivatives, useful for

prevention or treatment of tumors sensitive to inhibition of ErbB receptor tyrosine kinases

INVENTOR(S): Barlaam, Bernard Christophe; Halsall, Christopher Thomas; Hennequin, Laurent François Andre

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Ltd. SOURCE: PCT Int. Appl., 139 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | | | | | KIND | | DATE | | APPLICATION NO. | | | | | | | | |
|---------------|-----------|-----|-----|-------------|------|-----|-----------------|------------------|-----------------|-----|-----|----------|----------|-----|-----|-----|-----|
| | | | | | | | | WO 2004-GB4137 | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | | CN, | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | ΚZ, | LC, |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, |
| | | ΤJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW |
| | RW: | BW, | GH, | GM, | KΕ, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, |
| | | AZ, | BY, | KG, | KZ, | MD, | RU, | ТJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, |
| | | EE, | ES, | FΙ, | FR, | GB, | GR, | HU, | ΙE, | IT, | LU, | MC, | NL, | PL, | PT, | RO, | SE, |
| | | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, |
| | | | TD, | | | | | | | | | | | | | | |
| AU 2004276067 | | | | | | | AU 2004-276067 | | | | | | | | | | |
| | A 2540019 | | | | | | CA 2004-2540019 | | | | | | | | | | |
| EP | 1668006 | | | A1 20060614 | | | | EP 2004-768680 | | | | | 20040922 | | | | |
| | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | ΙT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | IE, | SI, | LT, | LV, | FΙ, | RO, | CY, | TR, | BG, | CZ, | EE, | HU, | PL, | SK, | HR | |
| BR 2004014772 | | | | | | | | | | | | | | | | | |
| | | | | | | | | CN 2004-80034531 | | | | | | | | | |
| JP 2007506725 | | | | T 20070322 | | | | JP 2006-527495 | | | | 20040922 | | | | | |

| US 20060287295 | A1 | 20061221 | US | 2006-572794 | | 20060321 |
|------------------------|----|----------|----|-------------|---|----------|
| MX 2006003422 | A | 20060620 | MX | 2006-3422 | | 20060324 |
| ZA 2006002434 | A | 20070725 | ZA | 2006-2434 | | 20060324 |
| ZA 2006002444 | A | 20070926 | ZA | 2006-2444 | | 20060324 |
| NO 2006001746 | A | 20060420 | NO | 2006-1746 | | 20060420 |
| KR 2006095767 | A | 20060901 | KR | 2006-707934 | | 20060424 |
| PRIORITY APPLN. INFO.: | | | GB | 2003-22409 | A | 20030925 |
| | | | WO | 2004-GB4137 | W | 20040922 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 142:355279; MARPAT 142:355279

GI

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB The invention relates to a preparation of quinazoline derivs. of formula I [wherein: one of R1 or R4 is (un)substituted (cyclo)alkoxy group; R2 is H or alkyl; R3 is Ph with 1 to 5 same or different substituents], useful for prevention or treatment of tumors sensitive to inhibition of ErbB receptor tyrosine kinases (antiproliferative agents). For instance, quinazoline derivative II (inhibition of tyrosine kinase protein phosphorylation: IC50 = 14 mN; EGFR driven KB cell proliferation: IC50 = 16 nM) was prepared via amidation of 2-pyridinecarboxylic acid by piperidine derivative III with a yield of 30%.
- IT 849147-09-7P 849147-11-1P 849147-12-2P 849147-13-3P 849147-14-4P 849147-15-5P 849147-16-6P 849147-42-8P 849147-43-9P 849147-96-2P 849148-12-5P
 - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
- (preparation of quinazoline derivs. useful as antiproliferative agents) ${\tt RN} = 849147 09 7 {\tt CAPLUS}$
- CN Methanone, [4-[[4-[(3-chloro-2-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]oxy]-1-piperidinyl]-5-isoxazolyl- (CA INDEX NAME)

- RN 849147-11-1 CAPLUS
- CN Methanone, [4-[[4-[(3-chloro-2-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]oxy]-1-piperidinyl](3-methyl-5-isoxazolyl)- (CA INDEX NAME)

RN 849147-12-2 CAPLUS

CN Methanone, [4-[[4-[(3-chloro-2-fluoropheny1)amino]-7-methoxy-6-quinazoliny1]oxy]-1-piperidiny1](5-methy1-3-isoxazoly1)- (CA INDEX NAME)

RN 849147-13-3 CAPLUS

CN Methanone, [4-[[4-[(3-chloro-2-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]oxy]-1-piperidinyl](5-methyl-4-isoxazolyl)- (CA INDEX NAME)

RN 849147-14-4 CAPLUS

CN Methanone, [4-[[4-[(3-chloro-2-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]oxy]-1-piperidinyl](3-methyl-4-isoxazolyl)- (CA INDEX NAME)

RN 849147-15-5 CAPLUS

CN Methanone, [4-[[4-[(3-chloro-2-fluoropheny1)amino]-7-methoxy-6quinazoliny1]oxy]-1-piperidiny1](3,5-dimethy1-4-isoxazoly1)- (CA INDEX NAME)

RN 849147-16-6 CAPLUS

CN Ethanone, 1-[4-[[4-[(3-chloro-2-fluoropheny1)amino]-7-methoxy-6 quinazoliny1]oxy]-1-piperidiny1]-2-(3-methy1-5-isoxazoly1)- (CA INDEX
 NAME)

RN 849147-42-8 CAPLUS

CN Methanone, [4-[[4-[(3-chloro-2-fluorophenyl)amino]-6-methoxy-7-quinazolinyl]oxy]-1-piperidinyl]-5-isoxazolyl- (CA INDEX NAME)

RN 849147-43-9 CAPLUS

CN Ethanone, 1-[4-[[4-[(3-chloro-2-fluorophenyl)amino]-6-methoxy-7-quinazolinyl]oxy]-1-piperidinyl]-2-(3-methyl-5-isoxazolyl)- (CA INDEX NAME)

RN 849147-96-2 CAPLUS

CN Ethanone, 1-[(3R)-3-[[4-[(3-chloro-2-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]oxy]-1-piperidinyl]-2-(3-methyl-5-isoxazolyl)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 849148-12-5 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[(3-chloro-2-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]oxy]-N-(3,5-dimethyl-4-isoxazolyl)- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'REGISTRY' ENTERED AT 10:49:23 ON 10 DEC 2009

L1 STRUCTURE UPLOADED

L2 12 S L1 FULL

FILE 'CAPLUS' ENTERED AT 10:50:02 ON 10 DEC 2009

L3 1 S L2

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 FULL ESTIMATED COST
 ENTRY SESSION

 FULL ESTIMATED COST
 EXCOMMON TOTAL

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION

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